

compounds of formula II correspond to the compounds of structure 3, in Scheme 1, whereas, compounds of formula III correspond to the compounds of structure 2, also shown in Scheme 1. Further, synthesis of compounds of formula III and II are further disclosed in Example 1 of the Specification.

Thus, pending Claims 1-10 are improperly rejected as being non-enabling.

Rejection of Claims 1-2 and 7-10 Under 35 USC 112, Second Paragraph

Claims 1-2 and 7-10 are rejected under 35 USC §112, second paragraph, as being indefinite for failing to particularly point out and distinctly claim the subject matter which Applicants claim as the invention. Specifically, the Examiner states that the term "selected from" in Claims 1 and 7 is an improper Markusk terminology. The Examiner also states that the term "(4- to 10-membered heterocyclic)C1-C6 alkyl substituted by 4- to 10- membered heterocyclic", in Claim 2, is confusing. Further, the Examiner states that Claim 8 is indefinite in that when R₂ is H, the compounds of formula II and Formula III are the same.

Claims 1 and 7 are hereby amended, as suggested by the Examiner, to overcome this rejection.

Claim 2 is hereby amended to overcome this rejection by further clarifying the term "(4- to 10-membered heterocyclic)C1-C6 alkyl substituted by 4- to 10- membered heterocyclic" by amending the claim to state that the heterocyclic substituent is further substituted by a 4- to 10- membered heterocyclic. This amendment is supported by Claim 1 wherein it states that the heterocyclic moieties,

of R^2 are optionally substituted by 4- to 10-membered heterocyclic. This substitution is further exemplified by the structure of the compound of Claim 3.

Furthermore, Claim 8 is hereby amended to overcome this rejection by defining the term R^2 , as used therein, as not including "H" as one of ordinary skill in the art would clearly understand that a compound of formula III does not require treatment with R^2 -L, to form a compound of formula II, wherein R^2 is H, as, in this particular instance, this formula III compound is the same compound as the formula II.

Thus, pending Claims 1-2 and 7-10, as amended, are not properly rejected as being indefinite.

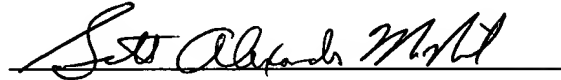
Conclusion

Based on the foregoing, Applicant respectfully submits that the Examiner's rejection of Claims 1-10, as amended, under 35 USC 112, first paragraph, and Claims 1-2 and 7-10, as amended, under 35 USC 112, second paragraph, are not proper. Therefore, Applicant respectfully requests that

the rejections of Claims 1-10 under 35 USC 112, first and second paragraphs, be withdrawn. Applicant further requests that a notice of allowance be issued for pending Claims 1-10.

Respectfully Submitted:

Date: 18 December 2002

A handwritten signature in cursive script, reading "Scott Alexander McNeil", is written over a horizontal line.

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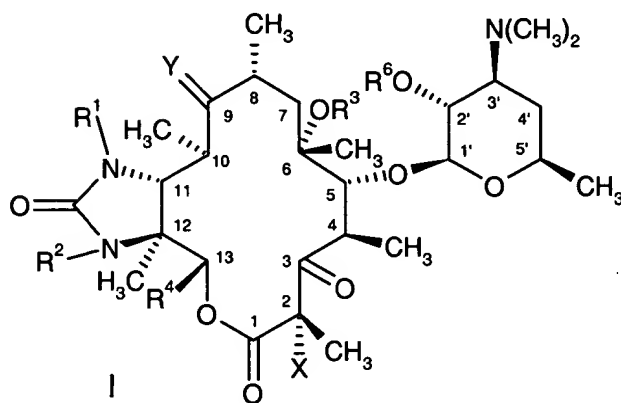
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ATTACHMENT TO AMENDMENT

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CLAIMS

1 (once amended). A compound of the formula



or a pharmaceutically acceptable salt, prodrug, or solvate thereof, wherein:

X is Cl, Br, I, or F;

Y is =O, or =NOR⁵; or Y means both -H and -OR⁵; or both -H and -NR⁵R¹⁰;

R¹, R², and R³ are independently selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, (4- to 10-membered heterocyclic) C₂-C₆ alkenyl, (4- to 10-membered heterocyclic) C₂-C₆ alkynyl, (C₆-C₁₀ aryl) C₁-C₆ alkyl, (C₆-C₁₀ aryl) C₂-C₆ alkenyl, and (C₆-C₁₀ aryl) C₂-C₆ alkynyl wherein said alkyl moieties of the foregoing groups are optionally substituted by halo or C₁-C₆ alkyl, and wherein said heterocyclic moieties are optionally substituted by 4- to 10-

membered heterocyclic, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, or (C₆-C₁₀ aryl) C₁-C₆ alkyl, and further wherein the aryl and heterocyclic moieties of each of the foregoing groups and optional substituents is optionally substituted by 1 to 4 R⁷ groups;

R⁴ is selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, (C₁-C₆ alkoxy) C₁-C₆ alkyl, (C₁-C₆ alkylthio) C₁-C₆ alkyl, (C₅-C₈ cycloalkyl) C₂-C₅ alpha branched alkyl, C₃-C₈ cycloalkyl, C₅-C₈ cycloalkenyl, 3 to 6 membered O or S containing heterocyclic group, or phenyl, wherein each R⁴ group may be substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, halo, (C₆-C₁₀ aryl) C₂-C₆ alkenyl, and C₁-C₄ alkyl;

R⁵ and R¹⁰ are independently selected from the group consisting of H, C₁-C₆ alkyl, C₆-C₁₀ aryl, 4- to 10-membered heterocyclic, (4- to 10-membered heterocyclic) C₁-C₆ alkyl and (C₆-C₁₀ aryl) C₁-C₆ alkyl, wherein said aryl and heterocyclic groups are optionally substituted by 1 to 4 R⁷ groups;

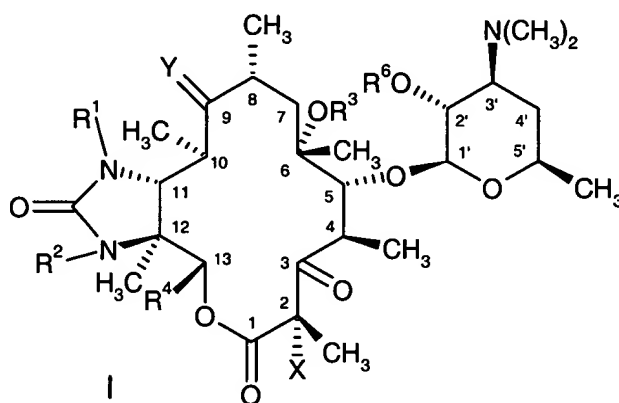
R⁶ is H, -C(O)C₁-C₆ alkyl, benzyl, benzyloxycarbonyl, or (C₁-C₆ alkyl)₃ silyl;

R⁷ is independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, -C(O)R⁸, -C(O)OR⁸, -OC(O)R⁸, -NR⁸C(O)R⁹, -C(O)NR⁸R⁹, -NR⁸R⁹, hydroxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₆-C₁₀ aryl, 4- to 10-membered heterocyclic, and C₁-C₆ alkoxy; and each R⁸ and R⁹ is independently selected from the group

consisting of H, C₁-C₆ alkyl, C₆-C₁₀ aryl, and 4- to 10-membered heterocyclic.

2 (once amended). The compound of claim 0 wherein Y is =O or =NOR⁵, R¹ is (4- to 10-membered heterocyclic) C₁-C₆ alkyl, wherein the heterocyclic is substituted by 4- to 10-membered heterocyclic, R² is C₁-C₁₀ alkyl or C₂-C₁₀ alkenyl, R³ is C₁-C₆ alkyl, R⁴ is ethyl, R⁵ is C₁-C₆ alkyl, and R⁶ is H.

7 (once amended). A method of preparing a compound of formula I



or a pharmaceutically acceptable salt, prodrug, or solvate thereof, wherein:

X is Cl, Br, I, or F;

Y is =O, or =NOR⁵; or Y means both -H and -OR⁵; or both -H and -NR⁵R¹⁰;

R¹, R², and R³ are independently selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, (4- to 10-membered heterocyclic) C₂-C₆ alkenyl, (4- to 10-membered heterocyclic) C₂-C₆ alkynyl, (C₆-C₁₀ aryl) C₁-C₆ alkyl, (C₆-C₁₀ aryl) C₂-C₆ alkenyl, and (C₆-C₁₀ aryl) C₂-C₆ alkynyl wherein said alkyl moieties of

the foregoing groups are optionally substituted by halo or C₁-C₆ alkyl, and wherein said heterocyclic moieties are optionally substituted by 4- to 10-membered heterocyclic, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, or (C₆-C₁₀ aryl) C₁-C₆ alkyl, and further wherein the aryl and heterocyclic moieties of each of the foregoing groups and optional substituents is optionally substituted by 1 to 4 R⁷ groups;

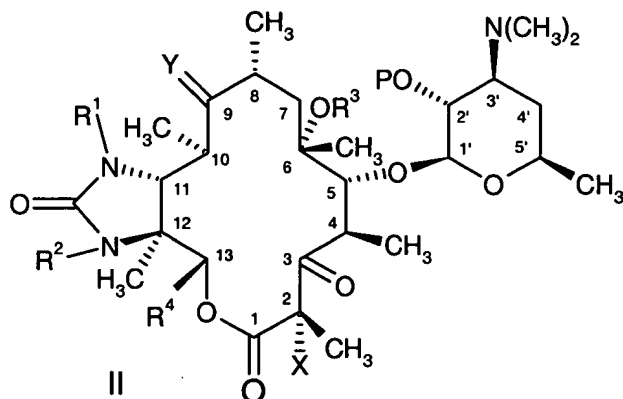
R⁴ is selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, (C₁-C₆ alkoxy) C₁-C₆ alkyl, (C₁-C₆ alkylthio) C₁-C₆ alkyl, (C₅-C₈ cycloalkyl) C₂-C₅ alpha branched alkyl, C₃-C₈ cycloalkyl, C₅-C₈ cycloalkenyl, 3 to 6 membered O or S containing heterocyclic group, or phenyl, wherein each R⁴ group may be substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, halo, (C₆-C₁₀ aryl) C₂-C₆ alkenyl, and C₁-C₄ alkyl;

R⁵ and R¹⁰ are independently selected from the group consisting of H, C₁-C₆ alkyl, C₆-C₁₀ aryl, 4- to 10-membered heterocyclic, (4- to 10-membered heterocyclic) C₁-C₆ alkyl and (C₆-C₁₀ aryl) C₁-C₆ alkyl, wherein said aryl and heterocyclic groups are optionally substituted by 1 to 4 R⁷ groups;

R⁶ is H, -C(O)C₁-C₆ alkyl, benzyl, benzyloxycarbonyl, or (C₁-C₆ alkyl)₃ silyl;

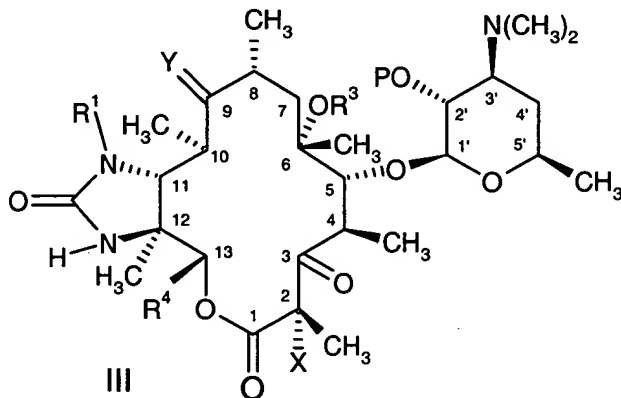
R⁷ is independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, -C(O)R⁸, -C(O)OR⁸, -OC(O)R⁸, -NR⁸C(O)R⁹, -C(O)NR⁸R⁹, -NR⁸R⁹, hydroxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₆-C₁₀ aryl, 4- to 10-

membered heterocyclic, and C₁-C₆ alkoxy; and each R⁸ and R⁹ is independently selected from the group consisting of H, C₁-C₆ alkyl, C₆-C₁₀ aryl, and 4- to 10-membered heterocyclic; which comprises deprotecting a compound of the formula



wherein P is a protecting group.

8 (once amended). The method of claim 0 further wherein the compound of formula II is prepared by treating a compound of the formula



with a strong base and a compound of formula R²-L, where L is a leaving group, and wherein R² is selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, (4- to 10-membered

heterocyclic) C₂-C₆ alkenyl, (4- to 10-membered heterocyclic) C₂-C₆ alkynyl, (C₆-C₁₀ aryl) C₁-C₆ alkyl, (C₆-C₁₀ aryl) C₂-C₆ alkenyl, and (C₆-C₁₀ aryl) C₂-C₆ alkynyl wherein said alkyl moieties of the foregoing groups are optionally substituted by halo or C₁-C₆ alkyl, and wherein said heterocyclic moieties are optionally substituted by 4- to 10-membered heterocyclic, (4- to 10-membered heterocyclic) C₁-C₆ alkyl, or (C₆-C₁₀ aryl) C₁-C₆ alkyl, and further wherein the aryl and heterocyclic moieties of each of the foregoing groups and optional substituents is optionally substituted by 1 to 4 R⁷ groups.